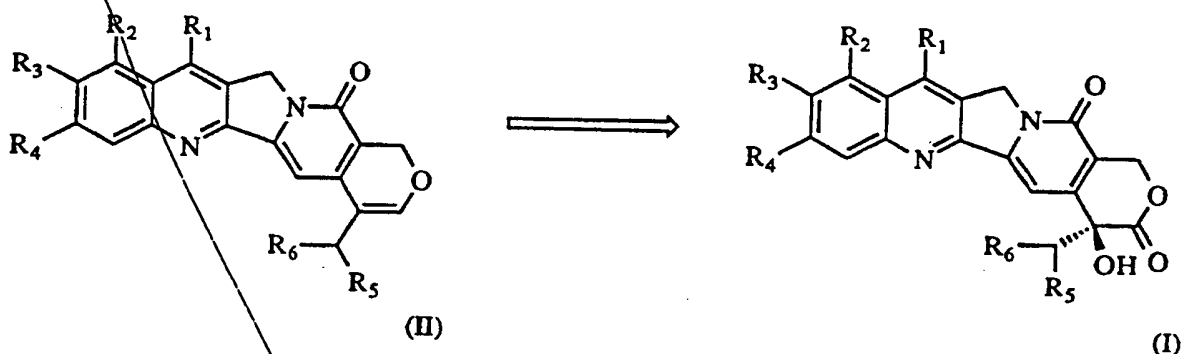


We claim:

1. A method of preparing a compound of Formula (I)



which comprises dihydroxylating a compound of Formula (II), wherein:  
 $R_1$  and  $R_2$ , which may be the same or different, are independently selected from hydrogen, lower alkyl,  $(C_{3-7})$  cycloalkyl,  $(C_{3-7})$ cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl, or  $(-CH_2NR_7R_8)$ , wherein:

- i)  $R_7$  and  $R_8$ , which may be the same or different, are independently selected from hydrogen, lower alkyl,  $(C_{3-7})$  cycloalkyl,  $(C_{3-7})$  cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or
- ii)  $R_7$  represents hydrogen, lower alkyl,  $(C_{3-7})$ cycloalkyl,  $(C_{3-7})$  cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl, and  $R_8$  represents  $-COR_9$ ,

wherein:

$R_9$  represents hydrogen, lower alkyl, perhalo-lower alkyl,  $(C_{3-7})$ cycloalkyl,  $(C_{3-7})$  cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, lower alkoxy, lower alkoxy lower alkyl; or

- ~~wherein:~~

Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

- $$\text{---N} \begin{array}{c} \text{---Y} \\ \text{---} \end{array}$$
- (IA)

Y represents O, S, SO, SO<sub>2</sub>, CH<sub>2</sub> or NR<sub>10</sub>.

R<sub>10</sub> represents hydrogen, lower alkyl, perhalo lower alkyl, aryl, aryl substituted with one or more substituents selected from lower alkyl, lower alkoxy, halogen, nitro, amino, lower alkyl amino, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups or

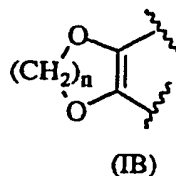
wherein:

R<sub>11</sub> represents hydrogen, lower alkyl, perhalo-lower alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from lower alkyl, perhalo-

lower alkyl, hydroxy lower alkyl,  
lower alkoxy lower alkyl groups; or

$R_3$  and  $R_4$  are independently selected from hydrogen, lower alkyl,  $(C_{3-7})$ cycloalkyl,  $(C_{3-7})$ cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl; or

$R_3$  and  $R_4$  taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)



wherein,

$n$  represents the integer 1 or 2; or

$R_3$  represents  $-OCONR_{12}R_{13}$ .

wherein,

$R_{12}$  and  $R_{13}$ , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both  $R_{12}$  and  $R_{13}$  are substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with  $-O-$ ,  $-S-$  and/or  $>N-R_{14}$  in which  $R_{14}$  is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group, and

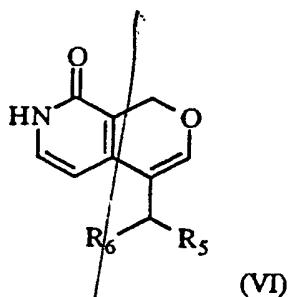
$R_5$  represents hydrogen or alkyl, and

$R_6$  represents hydrogen or alkyl, and

~~ly a~~

- Sub B

[illegible]



wherein:

R<sub>1</sub> and R<sub>2</sub>, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C<sub>3-7</sub>) cycloalkyl, (C<sub>3-7</sub>)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl, or (-CH<sub>2</sub>NR<sub>7</sub>R<sub>8</sub>), wherein:

- i) R<sub>7</sub> and R<sub>8</sub>, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C<sub>3-7</sub>) cycloalkyl, (C<sub>3-7</sub>) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or
- ii) R<sub>7</sub> represents hydrogen, lower alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl, and R<sub>8</sub> represents -COR<sub>9</sub>,

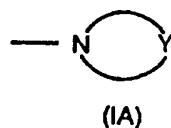
wherein:

- R<sub>9</sub> represents hydrogen, lower alkyl, perhalo-lower alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, lower alkoxy, lower alkoxy lower alkyl; or
- iii) R<sub>7</sub> represents hydrogen or lower alkyl; and R<sub>8</sub> represents diphenyl-methyl or -(CH<sub>2</sub>)<sub>t</sub>Ar

t is 0 to 5 and

Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

- iv) R7 and R8 taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



wherein:

Y represents O, S, SO, SO<sub>2</sub>, ~~CH<sub>2</sub>~~ or NR<sub>10</sub>.

wherein:

R<sub>10</sub> represents hydrogen, lower alkyl, perhalo lower alkyl, aryl, aryl substituted with one or more substituents selected from lower alkyl, lower alkoxy, halogen, nitro, amino, lower alkyl amino, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups or

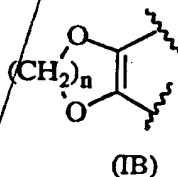
-COR<sub>11</sub>,

wherein:

R<sub>11</sub> represents hydrogen, lower alkyl, perhalo-lower alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from lower alkyl, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups; or

$R_3$  and  $R_4$  are independently selected from hydrogen, lower alkyl, (C<sub>3-7</sub>)cycloalkyl, (C<sub>3-7</sub>)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl; or

$R_3$  and  $R_4$  taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)



wherein,

$n$  represents the integer 1 or 2; or

$R_3$  represents  $-OCONR_{12}R_{13}$ ,

wherein,

$R_{12}$  and  $R_{13}$  which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both  $R_{12}$  and  $R_{13}$  are substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with  $-O-$ ,  $-S-$  and/or  $>N-R_{14}$  in which  $R_{14}$  is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group, and

$R_5$  represents hydrogen or alkyl, and

$R_6$  represents hydrogen or alkyl, and

pharmaceutically acceptable salts thereof.

~~4-Ethyl-1H-pyrano[3,4-c]pyridin-8-one;~~

11H-1,4-Dioxino[2,3-g]pyrano[3'4':6,7]indolizino[1,2-b]quinoline-12(14H)-one, 8-ethyl-2,3-dihydro-15-[(4-methyl-1-piperazinyl)methyl]; or

11H-1,4-Dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinoline-12(8H,14H)-one, 8-ethyl-2,3-dihydro-8,9-dihydroxy-15-[(4-methyl-1-piperazinyl)methyl]- (9R-cis).

ad  
A2

add  
B3